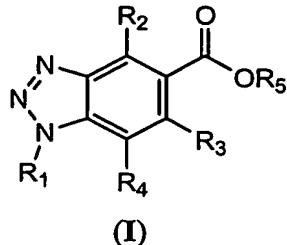


CLAIMS

What is claimed is:

1. A compound of Formula (I):



5

wherein:

R₁ is C₁₋₈ alkyl, C₃₋₆ cycloalkyl or C₁₋₆ haloalkyl, wherein the C₁₋₈ alkyl, C₃₋₆ cycloalkyl and C₁₋₆ haloalkyl groups are optionally substituted with 1, 2, 3 or 4 substituents selected from the group consisting of C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, aryl, substituted aryl, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, heteroaryl, heterocycl, hydroxyl, nitro and thiol;

10

R₂, R₃ and R₄ are each independently selected from the group consisting of H, C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, hydroxyl, nitro and thiol; and

15

R₅ is H or C₁₋₆ alkyl; or

a pharmaceutically acceptable salt or a solvate thereof;

provided that:

20

- a) when R₅ is ethyl, and R₂, R₃ and R₄ are H then R₁ is not methyl or triphenylmethyl;
- b) when R₅ is n-pentyl, and R₂, R₃ and R₄ are H then R₁ is not n-butyl;
- c) when R₅ is methyl, and R₂, R₃ and R₄ are H then R₁ is not pyrrolidin-1-ylmethyl, 3-tert-butyl-2-hydroxy-5-methyl-benzyl, methyl, or dimethylaminomethyl;
- d) when R₅ is methyl, R₂ is carbomethoxy and R₃ and R₄ are both H then R₁ is not methyl;

25

30

e) when R₂, R₃, R₄ and R₅ are all H then R₁ is not 2-amino-2-carboxyethyl, pyrrolidin-1-ylmethyl, isopropyl, methyl, benzyl, n-butyl, or carboxymethyl; and

5 f) when R₂, R₄, and R₅ are all H and R₃ is methoxy then R₁ is not methyl.

2. A compound according to claim 1 wherein:

R₁ is C₃₋₆ cycloalkyl or C₁₋₆ haloalkyl, where each C₃₋₆ cycloalkyl or C₁₋₆ haloalkyl group is optionally substituted with 1, 2, 3, or 4 substituents selected from the group consisting of C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, hydroxyl, nitro and thiol;

15 R₂, R₃ and R₄ are each independently selected from the group consisting of H, C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, hydroxyl, nitro or thiol; and

20 R₅ is H or C₁₋₆ alkyl; or a pharmaceutically acceptable salt or a solvate thereof.

3. The compound according to claim 1 or 2 wherein R₅ is C₁₋₆ alkyl.

25 4. The compound according to claim 1 or 2 wherein R₅ is H.

5. The compound according to any one of claims 1 to 4 wherein R₂, R₃ and R₄ are each independently H or halogen.

30 6. The compound according to any one of claims 1 to 4 wherein R₂, R₃ and R₄ are each independently H or F.

7. The compound according to any one of claims 1 and 3 to 6 wherein R₁ is C₁₋₈ alkyl optionally substituted with substituents selected from the group consisting of C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, aryl, substituted aryl, C₃₋₆ cycloalkyl, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, heteroaryl, heterocycl, and hydroxyl.

8. The compound according to any one of claims 1 and 3 to 6 wherein R₁ is selected from the group consisting of 2-butyl, 3-pentyl, 1-propyl, t-butyl, 1-butyl, 4-Methyl-pentyl, 3-methyl-butyl, 1,3-dimethyl-butyl, 3,3-dimethyl-butyl, 1-heptyl, ethyl, 2,2-dimethyl-propyl, and 1-pentyl.

5

9. The compound according to any one of claims 1 and 3 to 6 wherein R₁ is selected from the group consisting of 3-methoxy-benzyl, 4-methoxy-benzyl, 4-methoxy-phenyl ethyl, 3-methoxy-phenyl ethyl, 3,5-difluorobenzyl, and benzhydryl.

10

10. The compound according to any one of claims 1 and 3 to 6 wherein R₁ is selected from the group consisting of 3-isopropoxypropyl, tetrahydro-furan-2-ylmethyl, 2-methoxy-ethyl, 2-ethylsulfanyl-ethyl, 3-hydroxy-propyl, allyl, cyclopropylmethyl, but-2-ynyl, 2-methoxy-1-methyl-ethyl, 2-hydroxy-1-hydroxymethyl-ethyl, 2-ethoxy-ethyl, and 1,2-dimethyl-propyl.

15

11. The compound according to any one of claims 1 to 6 wherein R₁ is selected from the group consisting of cyclopentyl, cyclohexyl, cyclopropyl, and cyclobutyl.

20

12. The compound according to claim 1 selected from the group consisting of:

1-Cyclopentyl-1H-benzotriazole-5-carboxylic acid;

1-(2'-Butyl)-1H-benzotriazole-5-carboxylic acid;

1-(3'-Pentyl)-1H-benzotriazole-5-carboxylic acid;

1-Cyclohexyl-1H-benzotriazole-5-carboxylic acid

25

1-Propyl-1H-benzotriazole-5-carboxylic acid;

1-Cyclopropyl-1H-benzotriazole-5-carboxylic acid;

1-(3'-Isopropoxy-propyl)-1H-benzotriazole-5-carboxylic acid;

1-(Tetrahydro-furan-2'-ylmethyl)-1H-benzotriazole-5-carboxylic acid;

1-Cyclobutyl-1H-benzotriazole-5-carboxylic acid;

30

1-(2-Methoxy-ethyl)-1H-benzotriazole-5-carboxylic acid;

1-(3'Methoxybenzyl)-1H-benzotriazole-5-carboxylic acid;

1-(4'Methoxybenzyl)-1H-benzotriazole-5-carboxylic acid;

1-[2'-(4''-Methoxy-phenyl)-ethylamino]-1H-benzotriazole-5-carboxylic acid;

1-[2'-(3''-Methoxy-phenyl)-ethylamino]-1H-benzotriazole-5-carboxylic acid;

35

1-(3',5'-Difluorobenzyl)-1H-benzotriazole-5-carboxylic acid;

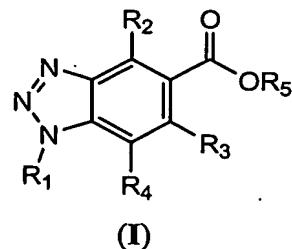
1-(2-Ethylsulfanyl-ethyl)-1H-benzotriazole-5-carboxylic acid;

1-t-Butyl-1H-benzotriazole-5-carboxylic acid;

1-(3'-Hydroxy-propyl)-1H-benzotriazole-5-carboxylic acid;
 1-(1',3'-Dimethyl-butyl)-1H-benzotriazole-5-carboxylic acid;
 1-(3',3'-Dimethyl-butyl)-1H-benzotriazole-5-carboxylic acid;
 1-Heptyl-1H-benzotriazole-5-carboxylic acid;
 5 1-(2'-Methoxy-1'-methyl-ethyl)-1H-benzotriazole-5-carboxylic acid;
 1-(2'-Hydroxy-1'-hydroxymethyl-ethyl)-1H-benzotriazole-5-carboxylic acid;
 1-Ethyl-1H-benzotriazole-5-carboxylic acid;
 1-Pentyl-1H-benzotriazole-5-carboxylic acid;
 1-(2',2'-Dimethyl-propyl)-1H-benzotriazole-5-carboxylic acid;
 10 1-(2'-Ethoxy-ethyl)-1H-benzotriazole-5-carboxylic acid;
 1-(1',2'-Dimethyl-propyl)-1H-benzotriazole-5-carboxylic acid;
 1-Benzhydryl-1H-benzotriazole-5-carboxylic acid;
 1-Allyl-1H-benzotriazole-5-carboxylic acid;
 1-Butyl-1H-benzotriazole-5-carboxylic acid;
 15 1-(Cyclopropylmethyl)-1H-benzotriazole-5-carboxylic acid;
 1-(But-2-ynyl)-1H-benzotriazole-5-carboxylic acid;
 1-(4'-Methyl-pentyl)-1H-benzotriazole-5-carboxylic acid; and
 1-(3'-Methyl-butyl)-1H-benzotriazole-5-carboxylic acid; or
 a pharmaceutically acceptable salt, solvate or hydrate thereof.

20

13. A pharmaceutical composition comprising a compound according to:
 a) Formula (I):



wherein:

25 R₁ is H, C₁₋₆ alkyl, C₃₋₆ cycloalkyl or C₁₋₆ haloalkyl, wherein each C₁₋₆ alkyl, C₃₋₆ cycloalkyl or C₁₋₆ haloalkyl group is optionally substituted with C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, hydroxyl, nitro or thiol;

R₂, R₃ and R₄ are independently H, C₁₋₆ acyl, C₁₋₆ acyloxy, C₂₋₆ alkenyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarboxamido, C₂₋₆ alkynyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylthio, C₁₋₆ alkylureyl, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, carbo C₁₋₆ alkoxy, carboxy, cyano, C₃₋₆ cycloalkyl, C₁₋₆ dialkylcarboxamido, halogen, C₁₋₆ haloalkoxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ haloalkylthio, hydroxyl, nitro or thiol; and

R₅ is H or C₁₋₆ alkyl; or

a pharmaceutically acceptable salt, solvate or hydrate thereof; or

b) any one of claims 1 to 12; wherein said compound is in combination with a pharmaceutically acceptable carrier.

10

14. A pharmaceutical composition according to claim 13 further comprising an agent selected from the group consisting of α -glucosidase inhibitor, aldose reductase inhibitor, biguanide, HMG-CoA reductase inhibitor, squalene synthesis inhibitor, fibrate, LDL catabolism enhancer, angiotensin converting enzyme inhibitor, insulin secretion enhancer and thiazolidinedione.

15

15. A compound according to any one of claims 1 to 12 for use in a method of treatment of the human or animal body by therapy.

20

16. A compound according to any one of claims 1 to 12 for use in a method of treatment of metabolic-related disorders.

25

17. A compound according to any one of claims 1 to 12 for use in a method of treatment of a metabolic-related disorder selected from the group consisting of dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance, obesity, impaired glucose tolerance, atheromatous disease, hypertension, stroke, Syndrome X, heart disease and type 2 diabetes.

30

18. A compound according to any one of claims 1 to 12 for use in a method of treatment of a metabolic-related disorder selected from the group consisting of dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance and type 2 diabetes.

35

19. A compound according to any one of claims 1 to 12 for use in a method of treatment of atherosclerosis.

20. A method of treatment of a metabolic-related disorder comprising administering to an individual in need of such treatment a therapeutically effective amount of a compound according to any one of claims 1 to 12.

5 21. A method according to claim 20 wherein said metabolic-related disorder is selected from the group consisting of dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance and type 2 diabetes.

10 22. A method according to claim 20 wherein said metabolic-related disorder is atherosclerosis.

23. Use of a compound according to one of claims 1 to 12 for the manufacture of a medicament for use in the treatment of a metabolic-related disorder.

15 24. Use of a compound according to one of claims 1 to 12 for the manufacture of a medicament for use in the treatment of a metabolic-related disorder selected from the group consisting of dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance, obesity, impaired glucose tolerance, atheromatous disease, hypertension, stroke, Syndrome X, heart disease and type 2 diabetes.

20

25. Use of a compound according to one of claims 1 to 12 for the manufacture of a medicament for use in the treatment of atherosclerosis.